

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

REC'D 22 MAR 2006

WIPO

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 4539PTWO-ca	FOR FURTHER ACTION	
	See Form PCT/IPEA/416	
International application No. PCT/EP2004/052665	International filing date (day/month/year) 26.10.2004	Priority date (day/month/year) 27.10.2003
International Patent Classification (IPC) or national classification and IPC A01N25/10, A01N53/00, A01N37/38, A01N43/30, C08B37/00		
Applicant ENDURA S.P.A.		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 6 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input checked="" type="checkbox"/> (<i>sent to the applicant and to the International Bureau</i>) a total of 2 sheets, as follows:</p> <ul style="list-style-type: none"> <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. <p>b. <input type="checkbox"/> (<i>sent to the International Bureau only</i>) a total of (indicate type and number of electronic carrier(s)), containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>
<p>4. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> <input checked="" type="checkbox"/> Box No. I Basis of the opinion <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application

Date of submission of the demand 09.08.2005	Date of completion of this report 21.03.2006
Name and mailing address of the International preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Molina de Alba, J Telephone No. +49 89 2399-7823



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Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
 - This report is based on translations from the original language into the following language, which is the language of a translation furnished for the purposes of:
 - international search (under Rules 12.3 and 23.1(b))
 - publication of the international application (under Rule 12.4)
 - international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

Description, Pages

1-12 as originally filed

Claims, Numbers

1-13 filed with the demand

- a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. The amendments have resulted in the cancellation of:
 - the description, pages
 - the claims, Nos.
 - the drawings, sheets/figs
 - the sequence listing (*specify*):
 - any table(s) related to sequence listing (*specify*):
 4. This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
 - the description, pages
 - the claims, Nos.
 - the drawings, sheets/figs
 - the sequence listing (*specify*):
 - any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes:	Claims	1-13
	No:	Claims	
Inventive step (IS)	Yes:	Claims	3,7
	No:	Claims	1,2,4-6,8-13
Industrial applicability (IA)	Yes:	Claims	1-13
	No:	Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

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1) Reference is made to the following documents:

- D1:** DATABASE WPI Section Ch, Week 199003 Derwent Publications Ltd., London, GB; Class C01, AN 1990-019276 XP002322687 & JP 01 299203 A (DAINIPPON JOCHUGIKU KK) 4 December 1989 (1989-12-04)
- D2:** R. BIEBEL ET AL.: "Action of pyrethrum-based formulations against weevils" INTERNATIONAL JOURNAL OF PHARMACEUTICS, vol. 256, 30 April 2003 (2003-04-30), pages 175-181, XP002322686

2) Re Item I

The amendments filed by the Applicant with his request for international preliminary examination fulfil the requirements of Art. 34(2)(b) PCT.

The application relates now to synergistic insecticidal compositions obtainable by simultaneous complexing with cyclodextrin: (i) a pyrethroid insecticide and/or an insect growth regulator and (ii) a component able to synergistically enhance the activity of the active principle.

3) Re Item V

3.1 Novelty (Art. 33(2) PCT)

D1 discloses (cf. abstract) a composition against termites comprising dimethyl(4-ethoxyphenyl)(3-(3-phenoxy-4-fluorophenyl)propylsilane and octachlorodipropyl ether in a preferred ratio by weight of 1:1 to 1:5. The composition is encapsulated or formed into a cyclodextrin-clathrate compound. The content of **D1** is not relevant for the novelty of the present claims, since it does not disclose compositions containing a pyrethroid or an insect growth regulator.

D2 relates to (cf. abstract) pyrethrum-based formulations against weevils. The formulations contain a pyrethrum extract, which is complexed with γ -cyclodextrin in order to overcome the low light stability of pyrethroids. Additionally, the compositions contain synergistic substances such as piperonyl butoxide, sesamol, or tocopherol acetate. In point 3.1, the insecticidal effect

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of compositions comprising pyrethrum- γ -cyclodextrin complexes and piperonyl butoxide was studied. In points 3.2 and 3.3 (cf. pg. 179), compositions containing pyrethrum- γ -cyclodextrin complexes and complexes of sesamol or tocopherol acetate with γ -cyclodextrin have been prepared. The compositions of D2 are prepared by a complexation of the pyrethroid with cyclodextrin and then mixing with the synergistic agent, in free or complexed form. Thus, compositions obtainable by **simultaneously complexing** a pyrethroid and a synergistic agent are not disclosed. As shown by the Applicant in the examples of the description and in the further tests filed, the mentioned method of preparation results in compositions which exhibit a considerable enhancement of the synergistic effect pyrethroid-synergistic agent, related to the effect of compositions having the same components in free form. This enhancement seems to be more important than the slight effect observed in D2 (cf. abstract and points 3.1-3.3). Thus, the insecticidal compositions defined in Claim 1 may be distinguished from those of D2.

Therefore, the subject-matter of claims 1 to 13 is regarded as novel.

3.2 Inventive Step (Art. 33(3) PCT)

D2 is considered to be the closest state of the art. The present application differs from D2 in that the compositions claimed are obtainable by simultaneous complexing the active compound and the synergistic agent. This particular formulation method results in a superior synergistic effect with regard D2. The problem to be solved may thus be regarded as the production of an **improved synergistic insecticidal composition**. The solution proposed in the application, namely simultaneous complexing of active compound and synergistic agent, solves the problem posed for pyrethroid insecticides and is in no way suggested by D1 or D2. Nevertheless, the Applicant has failed to prove that the claimed formulations also provide an enhanced synergistic effect for insect growth regulators. Due to the different nature, effects produced, and modes of action of pyrethroids and insect growth regulators, it cannot be reasonably expected that the desired effect observed for pyrethroids will also be present for cyclodextrin complexes of insect growth regulators. In consequence, it is doubtful that the expected effect arises across the whole scope claimed and thus an inventive step may be only acknowledged for those claims which do not relate to insect growth regulators, i.e. claims 3 and 7.

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3.3 Industrial applicability (Art. 33(4) PCT)

Is acknowledged for all the claims.

4) Re Item VIII

The term "possibly" in Claim 9 introduces ambiguity (Art. 6 PCT, see also the PCT-ISPE-Guidelines 5.40).

Claim 12 has been wrongly numbered as Claim 13.

09. 08. 2005

(62)

NEW SET OF CLAIMS

1. Insecticidal composition comprising:
 - (i) an active principle being a component with insecticidal activity belonging to the pyrethroid class and/or a component with insect growth regulator activity
 - 5 (ii) a component able to synergistically enhance the activity of the active principle, said composition being obtainable by subjecting both components (i) and (ii) to simultaneous complexing with cyclodextrin.
2. Composition as claimed in claim 1, wherein the cyclodextrin is chosen from α , β , γ cyclodextrin; HP- β -cyclodextrin and SBE- β -cyclodextrin.
- 10 3. Composition as claimed in claims 1-2, wherein the quantity of insecticide relative to cyclodextrin is between 5% and 40% (weight/weight).
4. Composition as claimed in claims 1-3, wherein the quantity of growth regulator relative to cyclodextrin is between 0.01% and 5% (weight/weight).
- 15 5. Composition as claimed in claims 1-3, wherein the compound able to synergistically enhance the activity of the active principle is chosen from piperonyl butoxide and sesamol.
6. Composition as claimed in claims 1-4, wherein the quantity of synergistic compound relative to cyclodextrin is between 10 and 100% (weight/ weight).
- 20 7. Composition as claimed in claims 1-5, wherein the quantity of insecticide relative to the synergistic compound is between 5% and 50% (weight/weight).
8. Composition as claimed in claims 1-6, comprising emulsifiers, UV stabilisers, antioxidants and other additives in a quantity between 0 and 30% (weight/weight).
9. Composition as claimed in claims 1-7, formulated for use in solid form or as a solid/oil composition, possibly dissolved/emulsified in water or in aqueous
- 25 25 solutions of water miscible solvents.
10. Process for preparing compositions described in claims 1-9, characterised by simultaneously complexing the synergistic compound and the insecticide and/or growth regulator in cyclodextrin.
11. Process as claimed in claim 10, comprising the following passages:
 - 30 (a) preparing a solution or suspension of the synergistic compound and the insecticide and/or growth regulator in a suitable solvent;
 - (b) preparing a solution of cyclodextrin in water or in aqueous mixtures of water

miscible organic solvents;

(c) adding the solution/suspension obtained in (a) to the solution obtained in (b).

13. Use of a composition as claimed in claims 1-9, as an insecticide in agriculture, or for eliminating household insects.

5 13. Use of a composition as claimed in claims 1-9, in preparing a veterinary formulation of use as an insecticide.